

L1 STRUCTURE uploaded  
L2 50 S L1 SSS SAM  
L3 25806 S L1 SSS FULL

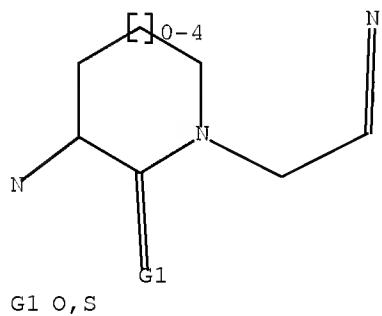
FILE 'REGISTRY' ENTERED AT 10:20:21 ON 14 SEP 2010

L4 STRUCTURE uploaded  
L5 50 S L4 SSS SAM  
L6 25058 S L4 SSS FULL  
L7 STRUCTURE uploaded

L7 STRUCTURE uploaded

=> d l7

L7 HAS NO ANSWERS  
L7 STR



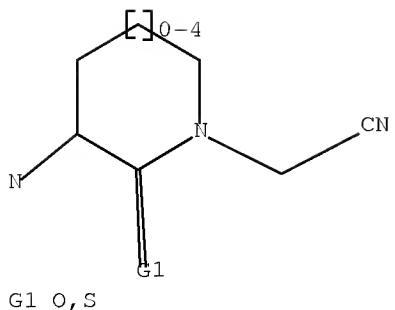
L8 0 S L7 SSS SAM  
L9 3 S L7 SSS FULL

FILE 'CAPLUS' ENTERED AT 10:22:29 ON 14 SEP 2010  
L10 3 S L9  
L11 3 S L10 AND (PY<=2004 OR PRY<=2004 OR AY<=2004)

FILE 'REGISTRY' ENTERED AT 10:24:30 ON 14 SEP 2010  
L12 STRUCTURE uploaded

L12 STRUCTURE uploaded

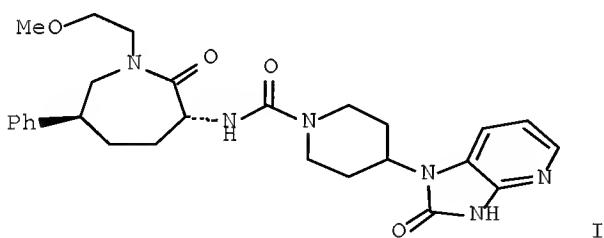
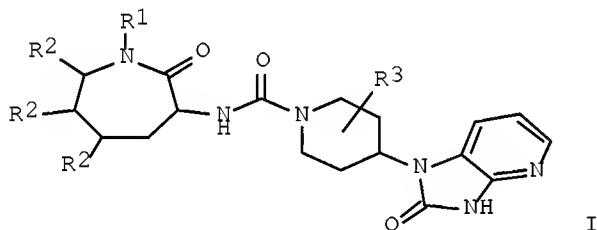
=> d l12  
L12 HAS NO ANSWERS  
L12 STR



L13                0 S L12 SSS SAM  
 L14                32 S L12 SSS FULL

FILE 'CAPLUS' ENTERED AT 10:25:00 ON 14 SEP 2010  
 L15                11 S L14  
 L16                9 S L15 AND (PY<=2004 OR PRY<=2004 OR AY<=2004)

L16 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2010 ACS on STN  
 GI



AB      Title compds. I [R1 = H, (un)substituted-alkyl, -cycloalkyl, etc.; R2 = H, (un)substituted-alkyl, -heterocyclyl, -aryl, etc.; R3 = H, CN, CO2H, (un)substituted alkyl or ester], and their pharmaceutically acceptable salts, are prepared and disclosed as antagonists of CGRP receptors. Thus, e.g., II was prepared by acylation of (3R,6S)-3-amino-1-(2-methoxyethyl)-6-phenylazepan-2-one (preparation given) with 4-nitrophenylchloroformate and subsequent amidation with 2-oxo-1-piperidinium-4-yl-2,3-dihydro-

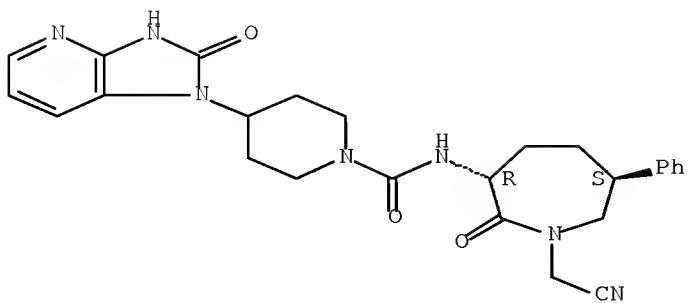
1H-imidazo[4,5-b]pyridin-4-ium dichloride. I are useful in the treatment or prevention of diseases in which the CGRP is involved, such as headache, migraine and cluster headache. I possessed Ki or IC<sub>50</sub> values of less than about 50 μM in CGRP receptor antagonist assays. The invention is also directed to pharmaceutical compns. comprising these compds. and the use of these compds. and compns. in the prevention or treatment of such diseases in which CGRP is involved.

ACCESSION NUMBER: 2004:902378 CAPLUS Full-text  
DOCUMENT NUMBER: 141:379817  
TITLE: Preparation of piperidine derivatives as CGRP receptor antagonists  
INVENTOR(S): Burgey, Christopher S.; Deng, Zhengwu J.;  
Nguyen, Diem N.; Paone, Daniel V.; Shaw, Anthony W.;  
Williams, Theresa M.  
PATENT ASSIGNEE(S): Merck & Co., Inc., USA  
SOURCE: PCT Int. Appl., 71 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 2  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004092168	A1	20041028	WO 2004-US11280	
20040409 <--				
CA, CH, GB, GD, KZ, LC, NA, NI, SL, SY, ZM, ZW	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW,			
AM, AZ, DK, EE, SE, SI, NE, SN,	BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,			
TD, TG				
BR 2004009601	A	20060418	BR 2004-9601	
20040409 <--				
CN 1802376	A	20060712	CN 2004-80016115	
20040409 <--				
CN 100384843	C	20080430		

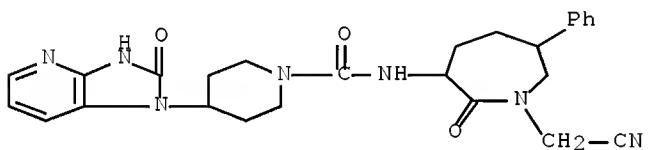
RU 2308458	C2	20071020	RU 2005-135440
20040409 <--			
NZ 543357	A	20080829	NZ 2004-543357
20040409 <--			
AT 413400	T	20081115	AT 2004-749887
20040409 <--			
PT 1638969	E	20090116	PT 2004-749887
20040409 <--			
ES 2314417	T3	20090316	ES 2004-749887
20040409 <--			
EP 2039694	A1	20090325	EP 2008-105721
20040409 <--			
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR,			
HU, IE,			
IT, LI, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, HR, LT, LV			
TW 314931	B	20090921	TW 2004-93110393
20040414 <--			
ZA 2005007797	A	20070228	ZA 2005-7797
20050927 <--			
IN 2005DN04609	A	20070817	IN 2005-DN4609
20051010 <--			
IN 224358	A1	20081031	
MX 2005011166	A	20051214	MX 2005-11166
20051014 <--			
KR 769030	B1	20071022	KR 2005-719692
20051014 <--			
NO 2005005375	A	20051114	NO 2005-5375
20051114 <--			
NO 327655	B1	20090907	
HK 1090922	A1	20090612	HK 2006-111605
20061020 <--			
PRIORITY APPLN. INFO.:			US 2003-463089P P
20030415 <--			US 2003-510352P P
20031010 <--			EP 2004-749887 A3
20040409 <--			WO 2004-US11280 W
20040409 <--			
OTHER SOURCE(S): MARPAT 141:379817			
IT 781648-89-3P 781649-41-0P			
RL: PAC (Pharmacological activity); SPN (Synthetic preparation);			
THU			
(Therapeutic use); BIOL (Biological study); PREP (Preparation);			
USES			
(Uses)			
(drug candidate; preparation of heterocyclic piperidine derivs.			
as CGRP			
receptor antagonists)			
RN 781648-89-3 CAPLUS			
CN 1-Piperidinecarboxamide, N-[(3R,6S)-1-(cyanomethyl)hexahydro-2-oxo-6-			
phenyl-1H-azepin-3-yl]-4-(2,3-dihydro-2-oxo-1H-imidazo[4,5-b]pyridin-1-yl)-			
(CA INDEX NAME)			

Absolute stereochemistry.



RN 781649-41-0 CAPLUS

CN 1-Piperidinecarboxamide, N-[1-(cyanomethyl)hexahydro-2-oxo-6-phenyl-1H-azepin-3-yl]-4-(2,3-dihydro-2-oxo-1H-imidazo[4,5-b]pyridin-1-yl)-(CA  
(INDEX NAME)



IT 781650-14-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP  
(Preparation); RACT  
(Reactant or reagent)  
(intermediate; preparation of heterocyclic piperidine derivs.

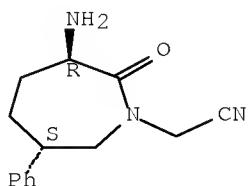
as CGRP

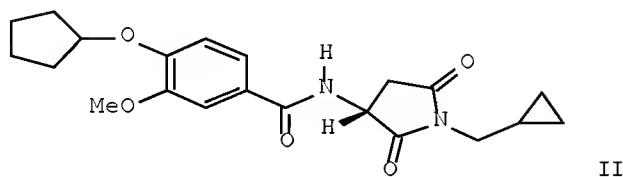
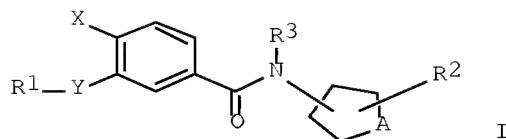
receptor antagonists)

RN 781650-14-4 CAPLUS

CN 1H-Azepine-1-acetonitrile, 3-aminohexahydro-2-oxo-6-phenyl-,  
(3R,6S)- (CA  
(INDEX NAME)

Absolute stereochemistry.





**AB** The present invention relates to novel heterocyclic compds. that inhibit phosphodiesterase type 4 (PDE 4). The compds. are useful for treating inflammatory conditions, diseases of the central nervous systems and insulin resistant diabetes. Title compds. I [wherein R1 = independently H, (un)substituted alk(en/yn)yl, cyclo/cycloalkyl/aryl/heterocyclyl/heteroaryl/alkyl, cycloalkenyl, aryl, heterocyclyl, etc.; P = a bond, O, S, NR1; P1 = H, halo, OR1, S(:O)R1, C(:O)R1, NO2, etc.; R2 = H, halo, (un)substituted cyclo/alkyl, CN, CH:CH2 and derivs., OH and derivs., CO2H and derivs., etc.; A = (un)substituted aryl, saturated or unsatd. 5-7 membered heterocycle; and their analogs, tautomers, regioisomers, diastereoisomers, stereoisomers, geometrical isomers, N-oxides, polymorphs, and their pharmaceutically acceptable salts and pharmaceutically acceptable solvates] were prepared as phosphodiesterase type 4 (PDE4) inhibitors for treating inflammatory and allergic disorders (no data). For example, II was prep'd via acylation of (3S)-3-aminoazolane-2,5-dione (preparation given) with 3-cyclopentyloxy-4-methoxybenzoyl chloride (preparation given), and alkylation of azolane intermediate with cyclopropylmethyl bromide in the presence of CsOH. I were found excellent PDE4 inhibitors in an in vitro study against human PDE4 enzyme (no data). I and their formulations are useful for the treatment of inflammatory allergic diseases, in particular bronchial asthma, allergic rhinitis and nephritis, as well as of diseases of the central nervous system and insulin resistant diabetes (no data).

ACCESSION NUMBER: 2004:220313 CAPLUS [Full-text](#)  
 DOCUMENT NUMBER: 140:270743  
 TITLE: Preparation of heterocyclic amides, in particular azolanes and pyridines as Phosphodiesterase IV (PDE4) inhibitors for the treatment of inflammatory

and

INVENTOR(S): allergic disorders  
Thomas, Abraham; Bhavar, Prashant Kashinath;  
Lingam,

PATENT ASSIGNEE(S): V. S. Prasada Rao; Joshi, Neelima Kairatkar  
Glenmark Pharmaceuticals Limited, India

SOURCE: PCT Int. Appl., 142 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

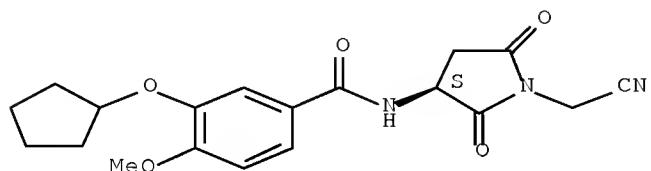
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
-----	----	-----	-----	----
WO 2004022536 20030903 <--	A1	20040318	WO 2003-IB3721	
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, GE, GH, LK, LR, NZ, OM, TM, TN, RW: GH, GM, KE, LS, MW, MZ, SD, SL, TZ, UG, ZM, ZW, AZ, BY, EE, ES, SK, TR, TD, TG	CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN,			
IN 2002MU00804 20020904 <--	A	20050121	IN 2002-MU804	
AU 2003263393 20030903 <--	A1	20040329	AU 2003-263393	
PRIORITY APPLN. INFO.: 20020904 <--			IN 2002-MU804	A
			WO 2003-IB3721	W
20030903 <--				
OTHER SOURCE(S): MARPAT 140:270743 IT 672883-36-2F, (3S)-1-Cyanomethyl-3-[(3-cyclopentyloxy-4-methoxyphenylcarbonyl)amino]-2,5-dioxoazolane RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (Phosphodiesterase IV inhibitor; preparation of heterocyclic amides, in particular azolanes and pyridines, as Phosphodiesterase IV (PDE4))				

inhibitors for treatment of inflammatory and allergic disorders)

RN 672883-36-2 CAPLUS

CN Benzamide, N-[(3S)-1-(cyanomethyl)-2,5-dioxo-3-pyrrolidinyl]-3-(cyclopentyloxy)-4-methoxy- (CA INDEX NAME)

Absolute stereochemistry.



IT 672883-17-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)

(intermediate; preparation of heterocyclic amides, in particular azolanes

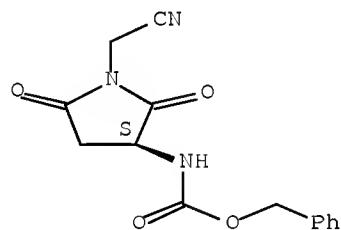
and pyridines, as Phosphodiesterase IV (PDE4) inhibitors for treatment

of inflammatory and allergic disorders)

RN 672883-17-9 CAPLUS

CN Carbamic acid, [(3S)-1-(cyanomethyl)-2,5-dioxo-3-pyrrolidinyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 672883-37-3, (3S)-3-Amino-1-cyanomethylazolane-2,5-dione

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of heterocyclic amides, in particular azolanes and pyridines,

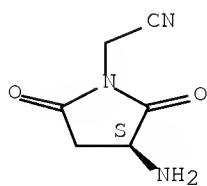
as Phosphodiesterase IV (PDE4) inhibitors for treatment of inflammatory

and allergic disorders)

RN 672883-37-3 CAPLUS

CN 1-Pyrrolidineacetonitrile, 3-amino-2,5-dioxo-, (3S)- (CA INDEX NAME)

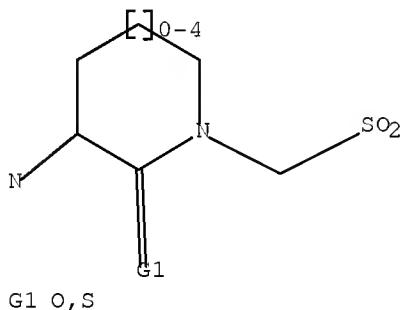
Absolute stereochemistry.



FILE 'REGISTRY' ENTERED AT 10:28:16 ON 14 SEP 2010  
L17 STRUCTURE uploaded

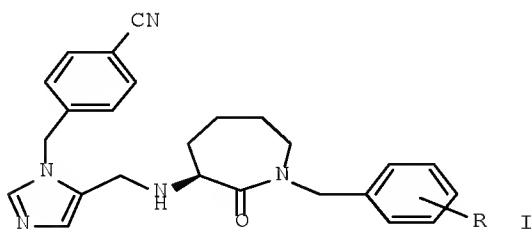
L17 STRUCTURE uploaded

=> d l17  
L17 HAS NO ANSWERS  
L17 STR



L18 1 S L17 SSS SAM  
L19 6 S L17 SSS FULL

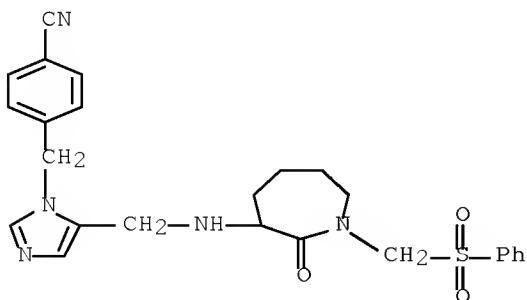
FILE 'CAPLUS' ENTERED AT 10:28:50 ON 14 SEP 2010  
L20 2 S L19  
L21 2 S L20 AND (PY<=2004 OR PRY<=2004 OR AY<=2004)  
  
L21 ANSWER 1 OF 2 CAPPLUS COPYRIGHT 2010 ACS on STN  
GI



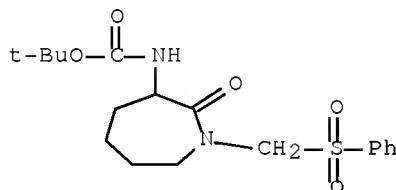
AB A rapid structure-activity study was performed by parallel liquid synthesis on N,N'-disubstitution of 3-aminoazepin-2-one to afford potent and specific farnesyl transferase inhibitors with low nM

enzymic and cellular activities. The activities of the selected compds. were validated *in vivo*, and compds. I (R = 2-Cl, 3-Br) presented significant antitumor activity.

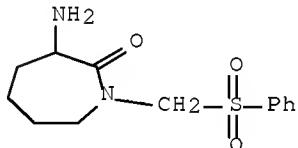
ACCESSION NUMBER: 2003:462563 CAPLUS Full-text  
DOCUMENT NUMBER: 140:42082  
TITLE: Parallel liquid synthesis of N,N'-  
disubstituted 3-aminoazepin-2-ones as potent and specific  
farnesyl transferase inhibitors  
AUTHOR(S): Le Diguarher, Thierry; Ortuno, Jean-Claude;  
Dorey,  
Pierre,  
Tucker,  
CORPORATE SOURCE: Gordon C.; Casara, Patrick J.  
Department of Medicinal Chemistry, Institut de  
Recherches Servier, Croissy sur Seine, 78290,  
Fr.  
SOURCE: Bioorganic & Medicinal Chemistry (2003),  
11(14), 3193-3204  
CODEN: BMECEP; ISSN: 0968-0896  
PUBLISHER: Elsevier Science Ltd.  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
OTHER SOURCE(S): CASREACT 140:42082  
IT 635753-78-5  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation);  
BIOL (Biological study); PREP (Preparation)  
(N,N'-disubstituted 3-aminoazepin-2-ones as farnesyl  
transferase  
inhibitors)  
RN 635753-78-5 CAPLUS  
CN Benzonitrile, 4-[5-[[[hexahydro-2-oxo-1-[(phenylsulfonyl)methyl]-  
1H-  
azepin-3-yl]amino)methyl]-1H-imidazol-1-yl]methyl]-, hydrochloride  
(1:2)  
(CA INDEX NAME)



IT 635754-80-2P 635754-85-7P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP  
(Preparation); RACT  
(Reactant or reagent)  
(N,N'-disubstituted 3-aminoazepin-2-ones as farnesyl  
transferase  
inhibitors)  
RN 635754-80-2 CAPLUS  
CN Carbamic acid, [hexahydro-2-oxo-1-[(phenylsulfonyl)methyl]-1H-  
azepin-3-yl]-  
, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

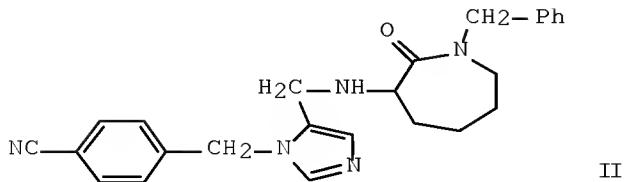
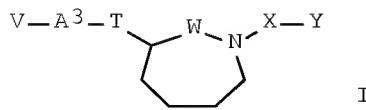


RN 635754-85-7 CAPLUS  
CN 2H-Azepin-2-one, 3-aminohexahydro-1-[(phenylsulfonyl)methyl]- (CA  
INDEX  
NAME)



OS.CITING REF COUNT: 7 THERE ARE 7 CAPLUS RECORDS THAT CITE  
THIS RECORD  
(7 CITINGS)  
REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE  
FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE  
RE FORMAT

L21 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2010 ACS on STN  
GI



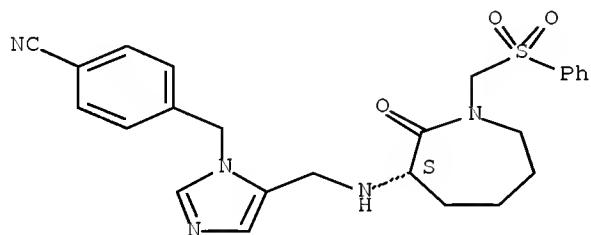
AB Title compds. I [W = CO, CH<sub>2</sub>; X = bond, alkylene, CO, S(O)<sub>n</sub>, S(O)nA1, COA1, A1S(O)nA2, A1COA2; Y = (un)substituted aryl, heteroaryl, cycloalkyl, heterocyclalkyl; T = NR<sub>1</sub>, NR<sub>1</sub>CO; V = H, (un)substituted aryl, heteroaryl; A1, A2 = alkylene; A3 = (CR<sub>2</sub>R<sub>3</sub>)<sub>p</sub>; R<sub>1</sub>-R<sub>3</sub> = H, (un)substituted alkyl, aryl, heteroaryl, aralkyl, heteroaralkyl; n = 0-2; p = 0-4] were prepared for use as farnesyl transferase inhibitors in the treatment of cancers, neurofibromatosis type 1, and restenosis after angioplasty or vascular surgery. Thus, (S)-3-amino-1-benzyl-2-azepanone was prepared from L-lysine in 4 steps and treated with 1-(4-cyanobenzyl)-1H-imidazole-5-carboxaldehyde, obtained by treating HOCH<sub>2</sub>COCH<sub>2</sub>OH with PhCH<sub>2</sub>NH<sub>2</sub> and KSCN and oxidation of the resulting alc., to give the title compound II.

ACCESSION NUMBER: 2002:555454 CAPLUS [Full-text](#)  
 DOCUMENT NUMBER: 137:125097  
 TITLE: Novel azepanes as farnesyl transferase  
 inhibitors  
 INVENTOR(S): Casara, Patrick; Le Diguicher, Thierry; Dorey,  
 Gilbert; Hickman, John; Pierre, Alain; Tucker,  
 Gordon;  
 Guilbaud, Nicolas; Ortuno, Jean-Claude;  
 Fauchere, Jean-Luc  
 PATENT ASSIGNEE(S): Les Laboratoires Servier, Fr.  
 SOURCE: PCT Int. Appl., 78 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: French  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
-----	----	-----	-----	-----
-----	-----	-----	-----	-----
WO 2002057223	A2	20020725	WO 2002-FR147	
20020116 <--				
WO 2002057223	A3	20021128		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,				
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,				

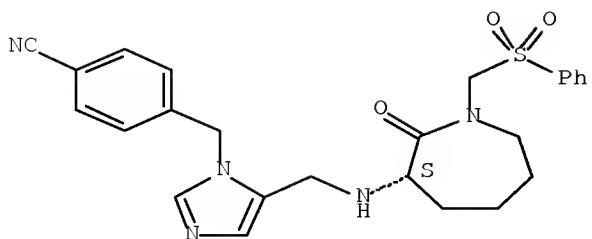
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,  
 LK, LR,  
 LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ,  
 OM, PH,  
 PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR,  
 TT, TZ,  
 UA, UG, US, UZ, VN, YU, ZA, ZM, ZW  
 RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU,  
 MC, NL,  
 PT, SE, TR  
 FR 2819511 A1 20020719 FR 2001-638  
 20010118 <--  
 AU 2002231886 A1 20020730 AU 2002-231886  
 20020116 <--  
 PRIORITY APPLN. INFO.: FR 2001-638 A  
 20010118 <-- WO 2002-FR147 W  
 20020116 <--  
 OTHER SOURCE(S): MARPAT 137:125097  
 IT 443920-50-1P 443920-51-2P  
 RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL  
 (Biological  
 study); PREP (Preparation); USES (Uses)  
 (novel azepanes as farnesyl transferase inhibitors)  
 RN 443920-50-1 CAPPLUS  
 CN Benzonitrile, 4-[[5-[[[(3S)-hexahydro-2-oxo-1-  
 [(phenylsulfonyl)methyl]-1H-  
 azepin-3-yl]amino]methyl]-1H-imidazol-1-yl]methyl]- (CA INDEX  
 NAME)

Absolute stereochemistry.



RN 443920-51-2 CAPPLUS  
 CN Benzonitrile, 4-[[5-[[[(3S)-hexahydro-2-oxo-1-  
 [(phenylsulfonyl)methyl]-1H-  
 azepin-3-yl]amino]methyl]-1H-imidazol-1-yl]methyl]-, hydrochloride  
 (1:2)  
 (CA INDEX NAME)

Absolute stereochemistry.



●2 HCl

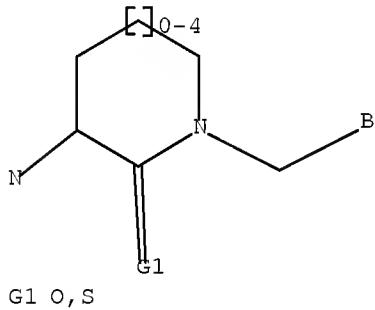
FILE 'REGISTRY' ENTERED AT 10:30:00 ON 14 SEP 2010  
L22 STRUCTURE uploaded

L22 STRUCTURE uploaded

=> d l22

L22 HAS NO ANSWERS

L22 STR



G1 O,S  
L23 0 S L22 SSS SAM  
L24 4 S L22 SSS FULL

FILE 'CAPPLUS' ENTERED AT 10:30:31 ON 14 SEP 2010  
L25 1 S L24

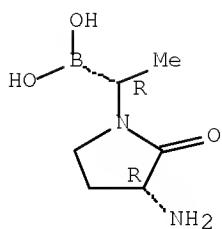
L25 ANSWER 1 OF 1 CAPPLUS COPYRIGHT 2010 ACS on STN

AB The epimerization-free synthesis and characterization of a class of conformational constrained lactam aminoboronic acid inhibitors of dipeptidyl peptidase IV (DPP IV; E.C. 3.4.14.5) is described. These compds. have the advantage that they cannot undergo the pH-dependent cyclization prevalent in most dipeptidyl boronic acids that attenuates their potency at physiol. pH. For example, D-3-amino-1-[L-1-boronic-ethyl]-pyrrolidine-2-one (amino-D-lactam-L-boroAla), one of the best lactam inhibitors of DPP IV, is several orders of magnitude less potent than L-Ala-L-boroPro, as measured by Ki values (2.3 nM vs 30 pM, resp.). At physiol. pH, however, it is actually more potent than L-Ala-L-boroPro, as measured by IC50 values (4.2 nM vs 1400 nM), owing to the absence of the potency-attenuating cyclization. In an interesting and at first

sight surprising reversal of the relationship between stereochem. and potency observed with the conformational unrestrained Xaa-boroPro class of inhibitors, the L-L diastereomers of the lactams are orders of magnitude less effective than the D-L lactams. However, this interesting reversal and the unexpected potency of the D-L lactams as DPP IV inhibitors can be understood in structural terms, which is explained and discussed here.

ACCESSION NUMBER: 2007:454223 CAPLUS Full-text  
DOCUMENT NUMBER: 147:95888  
TITLE: Synthesis and characterization of constrained peptidomimetic dipeptidyl peptidase IV  
inhibitors: amino-lactam boroalanines  
AUTHOR(S): Lai, Jack H.; Wu, Wengen; Zhou, Yuhong; Maw,  
Hlaing  
Poplawski, H.; Liu, Yuxin; Milo, Lawrence J., Jr.;  
Sarah E.; Henry, Gillian D.; Sudmeier, James  
L.; Sanford, David G.; Bachovchin, William W.  
CORPORATE SOURCE: Department of Biochemistry, Tufts University  
School of Medicine, Boston, MA, 02111, USA  
SOURCE: Journal of Medicinal Chemistry (2007), 50(10),  
2391-2398  
CODEN: JMCMAR; ISSN: 0022-2623  
PUBLISHER: American Chemical Society  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
OTHER SOURCE(S): CASREACT 147:95888  
IT 942216-61-7P 942216-62-8P  
RL: PAC (Pharmacological activity); PRP (Properties); SPN  
(Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
(preparation and computational study of peptidomimetics starting from methionine and homoserine derivs. via coupling with boroamino acid derivs. as key step, and their antidiabetic activity as dipeptidyl peptidase IV inhibitor)  
RN 942216-61-7 CAPLUS  
CN Boronic acid, B-[ (1R)-1-[(3R)-3-amino-2-oxo-1-pyrrolidinyl]ethyl]-  
' hydrochloride (1:1) (CA INDEX NAME)

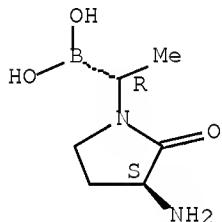
Absolute stereochemistry.



● HCl

RN 942216-62-8 CAPLUS  
 CN Boronic acid, B-[*(1R)-1-[(3*S*)-3-amino-2-oxo-1-pyrrolidinyl]ethyl]-  
 ' hydrochloride (1:1) (CA INDEX NAME)*

Absolute stereochemistry.



● HCl

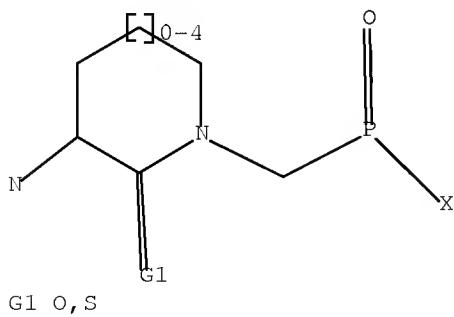
FILE 'REGISTRY' ENTERED AT 10:31:37 ON 14 SEP 2010  
 L26 STRUCTURE uploaded

L26 STRUCTURE uploaded

=> d 126

L26 HAS NO ANSWERS

L26 STR



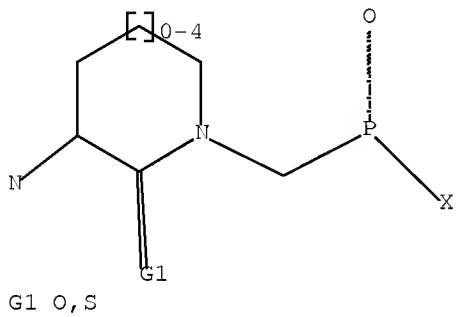
L27

0 S L26 SSS SAM

L28            0 S L26 SSS FULL  
L29            STRUCTURE UPLOADED

L29        STRUCTURE UPLOADED

=> d l29  
L29 HAS NO ANSWERS  
L29            STR



L30            0 S L29 SSS SAM  
L31            0 S L29 SSS FULL